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Two-Step Regioselective Synthesis of 3-(Sulfonylamino)imidazo-[1,2-*a*]pyrimidines from 2-Aminopyrimidines and *N*-(2,2-Dichloro-2-phenylethylidene)arensulfonamides

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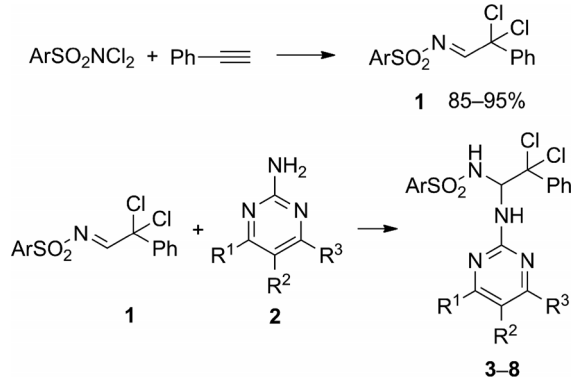
The reaction of 2-aminopyrimidines with *N*-(2,2-dichloro-2-phenylethylidene)arenesulfonamides affords the corresponding products of nucleophilic addition to the azomethine group, *N*-[2,2-dichloro-2-phenyl-1-(heterylamino)ethyl]sulfonamides, in good yields. The latter are easily cyclized to give imidazo[1,2-*a*]pyrimidin-3-ylsulfonamides in the presence of NaOH, whereas the expected isomeric imidazo[1,2-*a*]-pyrimidin-2-ylsulfonamides are not formed. A tentative mechanism for the formation of annulated heterocyclic derivatives through Dimroth rearrangement has been proposed.

Introduction

N-Functionalized polyhaloaldimines and polyhaloketimines are significant representatives of azomethine systems.[1] Due to the presence of the electron-withdrawing substituents, imines of such type are exceedingly active in reactions with O-, N-, and S-nucleophiles, aromatics and heteroaromatics, providing effective approaches for the preparation of diverse amide derivatives.[1,2] Polyhaloaldimine or polyhaloketimine molecules contain two electrophilic reactive sites, namely the carbon atom of electron-deficient azomethine group and the carbon atom of the polyhalomethyl group. Thus, haloimines are promising dielectrophiles that can be used as key reagents in the preparation of biologically active amino acids, amidines, and heterocycles.[1–3]

We have worked out new approaches for the synthesis of sulfonylamino-substituted heterocyclic derivatives[3d,3e,4] based on phenyldichloroacetaldimines **1**. Highly electrophilic imines **1** are available through synthetic methods based on free-radical reaction of *N*,*N*-dichlorosulfonamides with phenylacetylene[5] (Scheme 1).

It was shown[4] that reaction of imines **1** with 2-aminopyridines or 2-aminothiazole allows the selective one-pot, two-step synthesis of (sulfonylamino)imidazo[1,2-*a*]pyridines or (sulfonylamino)imidazo[2,1-*b*]thiazoles. In a continuation of our previous studies, in the present work we explored the possibility of obtaining (sulfonylamino)imidazo[1,2-*a*]pyrimidines from imines **1** and 2-aminopyrimidines **2**.



Scheme 1. Synthesis of phenyldichloroacetaldimines **1a**–**c** and their reaction with 2-aminopyrimidines **2a**–**f**.

It should be noted that amino-substituted imidazo[1,2-*a*]-pyrimidines are valuable reagents[6a,6b] and biologically active compounds,[6b–6e] having antimicrobial activity,[6c] exhibiting the property of potassium channel modulators,[6d] and they are promising drugs for prophylaxis and treatment of proliferative diseases.[6e] The development of new synthetic methodologies for the preparation of such derivatives and the synthesis of new representatives of the imidazo[1,2-*a*]-pyrimidine series are thus urgent tasks.

Results and Discussion

It is known that reactions of imines or imine analogues produced in situ, with aminopyrimidines lead to the forma-

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Table 1. Screening of conditions for the reaction of imines **1a–c** with aminopyrimidines **2a–h** and yields of adducts **3–8**.

Entry	Imine **1** (Ar)	**2**	R^1	R^2	R^3	*T* [°C]	Time [h]	Solvent	Adduct **3–8**	Yield [%]
1	**1a** (4-ClC_6H_4)	**2a**	H	H	H	room temp.	10	CCl_4	**3a**	0
2	**1a** (4-ClC_6H_4)	**2a**	H	H	H	room temp.	10	toluene	**3a**	0
3	**1a** (4-ClC_6H_4)	**2a**	H	H	H	room temp.	10	CH_3CN	**3a**	0
4	**1a** (4-ClC_6H_4)	**2a**	H	H	H	room temp.	6	DMF	**3a**	78
5	**1a** (4-ClC_6H_4)	**2a**	H	H	H	room temp.	6	1,4-dioxane	**3a**	86
6	**1b** (Ph)	**2a**	H	H	H	room temp.	6	1,4-dioxane	**3b**	63
7	**1c** (4-MeC_6H_4)	**2a**	H	H	H	room temp.	6	1,4-dioxane	**3c**	62
8	**1a** (4-ClC_6H_4)	**2b**	Me	H	H	room temp.	6	1,4-dioxane	**4a**	71
9	**1b** (Ph)	**2b**	Me	H	H	room temp.	6	1,4-dioxane	**4b**	91
10	**1c** (4-MeC_6H_4)	**2b**	Me	H	H	room temp.	6	1,4-dioxane	**4c**	60
11	**1a** (4-ClC_6H_4)	**2c**	Me	H	Me	room temp.	6	1,4-dioxane	**5a**	87
12	**1b** (Ph)	**2c**	Me	H	Me	room temp.	6	1,4-dioxane	**5b**	65
13	**1c** (4-MeC_6H_4)	**2c**	Me	H	Me	room temp.	6	1,4-dioxane	**5c**	66
14	**1a** (4-ClC_6H_4)	**2d**	Cl	H	Me	room temp.	6	1,4-dioxane	**6a**	90
15	**1b** (Ph)	**2d**	Cl	H	Me	room temp.	6	1,4-dioxane	**6b**	81
16	**1c** (4-MeC_6H_4)	**2d**	Cl	H	Me	room temp.	6	1,4-dioxane	**6c**	82
17	**1a** (4-ClC_6H_4)	**2e**	H	Br	H	100	10	1,4-dioxane	**7a**	36
18	**1a** (4-ClC_6H_4)	**2e**	H	Br	H	room temp.	10	DMF	**7a**	80
19	**1b** (Ph)	**2e**	H	Br	H	room temp.	10	DMF	**7b**	70
20	**1c** (4-MeC_6H_4)	**2e**	H	Br	H	room temp.	10	DMF	**7c**	90
21	**1a** (4-ClC_6H_4)	**2f**	H	Cl	H	100	10	1,4-dioxane	**8a**	0
22	**1a** (4-ClC_6H_4)	**2f**	H	Cl	H	room temp.	10	DMF	**8a**	25
23	**1b** (Ph)	**2f**	H	Cl	H	room temp.	10	DMF	**8b**	39
24	**1c** (4-MeC_6H_4)	**2f**	H	Cl	H	room temp.	10	DMF	**8c**	44
25	**1a** (4-ClC_6H_4)	**2g**	Cl	H	Cl	100	20	DMF	**9**	0
26	**1a** (4-ClC_6H_4)	**2h**	thien-2-yl	H	CF_3	100	20	DMF	**10**	0

tion of pyrimidine-substituted aminal derivatives,[7a–7f] which are good reagents[7e,7f] and biologically active compounds.[7g] We found that 2-aminopyrimidines **2** react with imines **1** in the same manner to give the products of nucleophilic addition **3–8** (see Scheme 1). The process proceeds selectively with the participation of azomethine groups of imines **1a–c** and exocyclic amino groups of aminopyrimidines **2**. The nature of the solvents had a significant impact on the product yield. The reaction was realized in high polarity solvents such as 1,4-dioxane or *N*,*N*-dimethylformamide (DMF) (Table 1).

Adducts **3–8** were formed in good yield under mild conditions with 2-aminopyrimidine (**2a**) and methyl-substituted aminopyrimidines **2b–d** when 1,4-dioxane was used as the most appropriate solvent (see Table 1). In the case of 5-bromo- or 5-chloro-substituted derivatives **2e** or **2f**, DMF was used as solvent, because the yields of the corresponding adducts **7** and **8** in dioxane were lower. Aminodichloropyrimidine **2g** and trifluoromethyl-substituted aminopyrimidine **2h** did not form adducts under the conditions we studied. Clearly, electron-withdrawing substituents deactivate the nucleophilicity of amino pyrimidines and the formation of the expected products **9** and **10** was hindered or completely blocked.

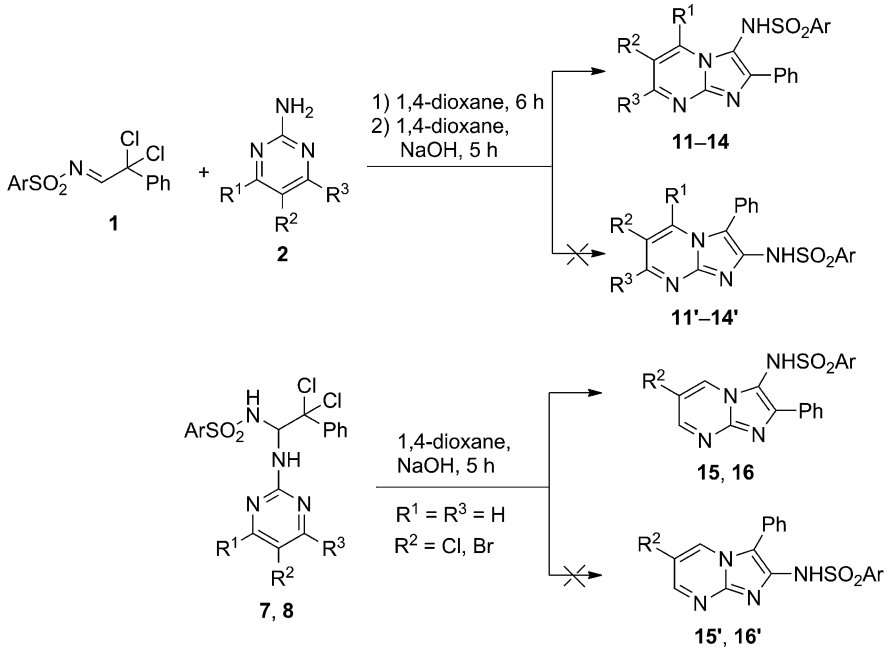
The structures of adducts **3–8** were defined by IR and NMR spectroscopic analysis and supported by elemental analysis. The IR spectra of compounds **3–8** contain characteristic absorption bands attributed to SO_2 and NH groups.

In the ^{1}H NMR spectra, typical signals of protons of aromatic and pyrimidine rings with corresponding multiplicity and intensity were observed. Moreover, typical signals of the NH-CH-NH fragment were presented, namely a doublet in weak field at δ = 8.0–8.5 ppm from the SO_2NH proton, doublet of doublets at δ = 6.1–6.4 ppm from the CH group ($^3J_{NH-CH}$ = 9.2–9.8 Hz), and a doublet or broad singlet at δ = 6.0–7.0 ppm from the Het-NH fragment. For dimethylpyrimidine derivatives **5a–c**, the protons of methyl groups are equivalent and appear as double-intensity singlets. These features of the ^{1}H NMR spectra as well as the ^{13}C NMR spectroscopic data and the results of 2D NMR experiments unambiguously established the structure of the compounds synthesized. The values of ^{15}N chemical shifts of adducts **3–8** were determined based on 2D ^{1}H-^{15}N HMBC spectra.

It is interesting to note that compounds **6a–c** in [D_6]dimethyl sulfoxide (DMSO) exhibit two groups of signals in the ^{1}H and ^{13}C NMR spectra, probably due to different associations or conformers stabilized by hydrogen bonds.

It was shown previously[4] that heterocyclization of adducts obtained from imines **1** and 2-aminopyridines proceeds smoothly in dioxane in the presence of NaOH. We applied the same conditions for heterocyclization and found that **3–8** were transformed easily into 3-arylsulfonylamino-2-phenylimidazo[1,2-*a*]pyrimidine derivatives **11–16** (Scheme 2, Table 2). The formation of isomeric 2-arylsulfonylamino-3-phenylimidazo[1,2-*a*]pyrimidines **11′–16′** was not detected. In the absence of NaOH, even under heating or in the presence of potassium or sodium carbonates, heterocyclic derivatives were not obtained.

Synthesis of **11–14** could be realized as a one-pot, two-step procedure without isolation of the intermediate adducts **3–6** (Scheme 2, Table 2). Adducts **7** and **8** were formed with the highest yields in DMF but attempts to perform their subsequent one-pot heterocyclization led to



Scheme 2. Synthesis of imidazo[1,2-*a*]pyrimidines **11**–**16**.

Table 2. One-pot synthesis of imidazo-pyrimidines **11**–**14** and heterocyclization of adducts **7** and **8** into imidazo-pyrimidines **15** and **16**.

Entry	Ar	R^1	R^2	R^3	*T* [°C]	Time [h]	Solvent	Imidazo-pyrimidine	Yield [%][a]
1	4-ClC_6H_4	H	H	H	room temp.	5	1,4-dioxane	**11a**	76
2	4-ClC_6H_4	H	H	H	room temp.	5	THF	**11a**	70
3	Ph	H	H	H	room temp.	5	1,4-dioxane	**11b**	89
4	4-MeC_6H_4	H	H	H	room temp.	5	1,4-dioxane	**11c**	65
5	4-ClC_6H_4	H	H	Me	room temp.	5	1,4-dioxane	**12a**	51
6	Ph	H	H	Me	room temp.	5	1,4-dioxane	**12b**	65
7	4-MeC_6H_4	H	H	Me	room temp.	5	1,4-dioxane	**12c**	53
8	4-ClC_6H_4	Me	H	Me	room temp.	5	1,4-dioxane	**13a**	60
9	Ph	Me	H	Me	room temp.	5	1,4-dioxane	**13b**	77
10	4-MeC_6H_4	Me	H	Me	room temp.	5	1,4-dioxane	**13c**	64
11	4-ClC_6H_4	Me	H	Cl	room temp.	5	1,4-dioxane	**14a**	79
12	Ph	Me	H	Cl	room temp.	5	1,4-dioxane	**14b**	73
13	4-MeC_6H_4	Me	H	Cl	room temp.	5	1,4-dioxane	**14c**	74
14	4-ClC_6H_4	H	Br	H	50	10	CCl_4	**15a**	0
15	4-ClC_6H_4	H	Br	H	100	10	toluene	**15a**	0
16	4-ClC_6H_4	H	Br	H	40	10	CH_3CN	**15a**	0
17	4-ClC_6H_4	H	Br	H	room temp.	10	DMF	**15a**	0
18	4-ClC_6H_4	H	Br	H	100	10	DMF	**15a**	0
19	4-ClC_6H_4	H	Br	H	room temp.	5	1,4-dioxane	**15a**	59, 76[b]
20	Ph	H	Br	H	room temp.	5	1,4-dioxane	**15b**	38, 54[b]
21	4-MeC_6H_4	H	Br	H	room temp.	5	1,4-dioxane	**15c**	33, 51[b]
22	4-ClC_6H_4	H	Cl	H	room temp.	5	1,4-dioxane	**16a**	47, 88[b]
23	Ph	H	Cl	H	room temp.	5	1,4-dioxane	**16b**	36, 91[b]
24	4-MeC_6H_4	H	Cl	H	room temp.	5	1,4-dioxane	**16c**	48, 84[b]

[a] Yield based on starting imines **1a**–**c**. [b] Yield based on adducts **7** and **8**, respectively.

strong resinification. We succeeded in preparing the corresponding imidazo-pyrimidines **15** and **16** in satisfactory yields only in dioxane.

The heterocyclization of adducts **4a**–**c** and **6a**–**c** resulted in the selective formation of imidazo-pyrimidines **12a**–**c** and **14a**–**c**, whereas isomeric derivatives with substituents at other positions on the pyrimidine moiety were not formed (Scheme 2, Table 2).

This selectivity can probably be explained by the different nucleophilicity of endocyclic nitrogen atoms caused by nonsymmetrical arrangement of the substituents in the starting aminopyrimidines.

NMR and IR spectroscopic analysis of the reaction products **11**–**16** showed that all of them belong to the same structural type; we therefore carried out X-ray single-crystal analysis for **11c** as a typical derivative (Figure 1).

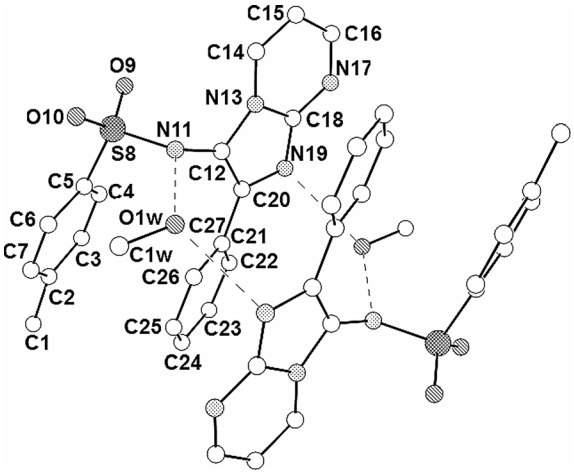
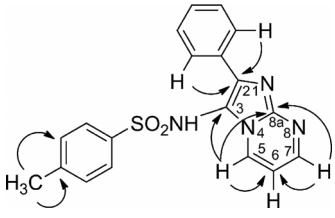


Figure 1. X-ray structure of the dimer {**11c**·MeOH}$_2$.

The X-ray diffraction studies revealed that, in the solid state, two molecules of **11c** and two molecules of methanol are connected through hydrogen bonds (N11–H···O1w and O1w–H···N19′) forming the centrosymmetrical dimer {**11c**·MeOH}$_2$. Notably, in every molecule of **11c**, the planes of the two phenyl rings are almost parallel (the angle between the planes is equal to 4.8°) and lie at a distance of approximately 3.3 Å, which corresponds to typical π-stacking.

The structures of the compounds obtained were unambiguously deduced from their ^{1}H, ^{13}C and ^{15}N NMR spectroscopic data using ^{1}H-^{13}C HSQC, ^{1}H-^{13}C HMBC, ^{1}H-^{15}N HMBC, and NOESY 2D NMR experiments. To establish the imidazo-pyrimidine structures HMBC ^{1}H–^{13}C techniques were used (Scheme 3), which were optimized for ^{13}C–^{1}H coupling constants of 10 Hz, which are typical for carbon and proton atoms separated by three bonds.



Scheme 3. Main ^{1}H-^{13}C HMBC correlations for imidazo-pyrimidine **11c**.

The values of ^{15}N chemical shifts in the 1D ^{15}N spectrum for **11c** are in agreement with the proposed structure. The determination of ^{15}N chemical shifts from the 1D ^{15}N NMR spectra was difficult due to the low solubility of imidazo-pyrimidines **11**–**16** and because of the long time required to complete the NMR experiments. Thus, the values of ^{15}N chemical shifts of other imidazo-pyrimidines were obtained only for N-4 and N-8 nitrogen atoms using 2D ^{1}H-^{15}N HMBC spectra. This technique also allows the arrangement of R^1 and R^3 substituents on the pyrimidine fragment to be determined from their correlations with N-8 (ca. –100 ppm) and N-4 (ca. – 190 ppm) atoms.

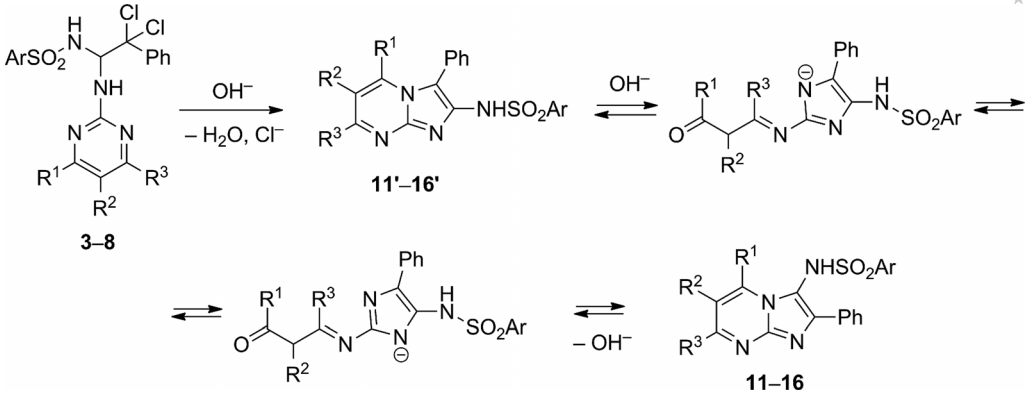
The ^{13}C NMR chemical shifts from carbon atoms of a pyrimidine moiety move downfield by 8–14 ppm when they are associated with methyl substituents. This allowed the arrangement of the methyl groups in the pyrimidine ring of imidazo-pyrimidines **12**, **13**, **14** to be established. For example, the chemical shifts from C-5 and C-7 atoms in the ^{13}C NMR spectrum of **11a** are found at δ = 132.6 and 152.0 ppm, respectively (these NMR spectroscopic data are in agreement with the spectra of unsubstituted imidazo[1,2-*a*]pyrimidine[8]). For 5,7-dimethylimidazo-pyrimidine **13a**, chemical shifts from the C-5 and C-7 carbon atoms move to δ = 145.5 and 160.8 ppm, respectively (Table 3, entries 1 and 3). For monomethyl-substituted imidazo-pyrimidine **12a**, atom C-5 resonates at the same field as C-5 for compound **11a** (131.7 ppm), but the chemical shift from carbon C-7 (δ = 161.4 ppm) is in the same field as the C-7 resonance of **13a** (δ = 160.8 ppm) (entries 1–3). This downfield displacement of the signal from C-7 allows one to make a conclusion about the presence of the methyl substituent at the C-7 carbon atom. For compounds **13a** and **14a**, the chemical shifts from the C-5 carbon atoms are identical (δ = 145.5 ppm), whereas the C-7 carbon atoms resonate at different frequencies (entries 3 and 4). This is consistent with the assumption that the same substituents (methyl groups) are associated with C-5 carbon atoms and different substituents (methyl group and chlorine atom) are bonded with C-7 carbon atoms.

Table 3. The chemical shifts from C-5 and C-7 carbon atoms in the ^{13}C NMR spectra of compounds **11a**, **12a**, **13a**, and **14a**.

Entry	Imidazo-pyrimidine Ar = 4-ClC$_6$H$_4$	R^1	C-5 δ [ppm]	R^3	C-7 δ [ppm]
1	**11a**	H	132.6	H	152.0
2	**12a**	H	131.7	Me	161.4
3	**13a**	Me	145.5	Me	160.8
4	**14a**	Me	145.5	Cl	151.6

A possible reaction pathway leading to the formation of compounds **11**–**16** is under discussion. The reaction can proceed through heterocyclization of adducts **3**–**8** into intermediate imidazo-pyrimidines **11′**–**16′**, which undergo further isomerization into the final heterocycles **11**–**16** according to the Dimroth rearrangement (Scheme 4). It should be noted that based on reported data,[9] this type of isomerization runs in the reverse direction: in the presence of a base, 3-aminoimidazo[1,2-*a*]pyrimidines undergo isomerization into 2-aminoimidazo[1,2-*a*]pyrimidines. This reaction is one of the methods for the preparation of 2-aminoimidazo[1,2-*a*]pyrimidine derivatives.[9] We found that under the conditions described in the method[9] (alkali in water/methanol solution), the transformation of compounds **11**–**16** into isomeric imidazo-pyrimidine derivatives **11′**–**16′** does not take place.

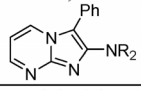
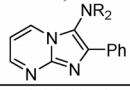
To explain the contradiction discussed above, we carried out quantum-chemical calculations [MP2 6-311+G(d,p); Firefly QC package,[10a] which is partially based on the GAMESS (US)[10b] source code] of formation energy for 3-amino-2-phenylimidazo[1,2-*a*]pyrimidines **11a**–**c**, model



Scheme 4. Possible reaction pathway for the formation of imidazo-pyrimidine derivatives **11**–**16**.

compounds **17a**–**e**, and isomeric 2-amino-3-phenylimidazo[1,2-*a*]pyrimidines **11′a**–**c** and **17′a**–**e**. The calculations show that the total energy of 2-aminoimidazo-pyrimidines **17′a**–**e** is lower by 2.2–4.1 kcal/mol in comparison with isomeric 3-aminoimidazo-pyrimidines **17a**–**e** (Table 4, entries 1–5). This difference in energies allows the direction of isomerization described in the paper to be explained.[9] The difference of formation energy between **17′** and **17** is reduced to 2.9 and 2.2 kcal/mol in the case of compounds with electron-withdrawing methylsulfonyl and trifluoromethylsulfonyl groups, respectively (entries 4 and 5). Nevertheless, even for compounds with the CF_3SO_2 group, the 2-amino substituted derivative is preferable.

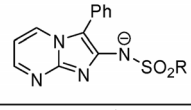
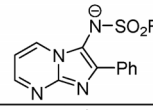
Table 4. Relative energies of neutral molecules in the gas phase at fully optimized geometries by MP2/6-311+G(d,p) for compounds **17a**–**e**, **17′a**–**e**, **11a**–**c**, and **11′a**–**c** (units in kcal/mol).

Entry	**17'a-e, 11'a-c** 	**17a-e, 11a-c** 	NR_2
1	0 (**17'a**)	4.11 (**17a**)	NMe_2
2	0 (**17'b**)	4.08 (**17b**)	NHMe
3	0 (**17'c**)	3.93 (**17c**)	NH_2
4	0 (**17'd**)	2.89 (**17d**)	$MeSO_2NH$
5	0 (**17'e**)	2.21 (**17e**)	CF_3SO_2NH
6	2.82 (**11'a**)	0 (**11a**)	$4\text{-}ClC_6H_4SO_2NH$
7	2.40 (**11'b**)	0 (**11b**)	$PhSO_2NH$
8	3.29 (**11'c**)	0 (**11c**)	4-TsNH

In contrast to compounds **17** and **17′**, 3-arylsulfonylaminosubstituted isomers **11a**–**c** are surprisingly more stable then 2-(arylsulfonylamino)imidazo-pyrimidines **11′a**–**c** by 2.4–3.3 kcal/mol (Table 4, entries 6–8). This stability can probably be explained by the more effective intramolecular π-stacking coordination between the aromatic ring of the arylsulfonic group and the benzene ring at C-2 position. A possibility of intramolecular π-stacking is revealed by the XRD study (see Figure 1). It should be noted that examples of intramolecular π-stacking for some arylsulfonamide derivatives, annulated imidazole and pyrimidine derivatives have been reported.[11]

Moreover, because sulfonamide derivatives are strong N–H acids, it should be taken into account that under the reaction conditions (alkali medium), compounds **11**–**16** exist as sulfonamidic anions of the type **A** or **A′**. For these anions, the calculations show that sulfonamidic derivatives **A** are more stable by 7.5–13.5 kcal/mol in comparison with isomeric anions **A′** (Table 5). Calculations using the PCM solvation method (see Table S3 in the Supporting Information) do not reveal a significant difference between the relative energies of anions **A** and **A′**. This energy difference can serve as a driving force for the unusual direction of Dimroth rearrangement and isomerization of 2-(sulfonylamino)imidazo-pyrimidines **11′**–**16′** into 3-sulfonylamino-substituted derivatives **11**–**16** according to the Scheme 4.

Table 5. Relative energies of anions **A** and **A′** in the gas phase at fully optimized geometries by MP2/6-311+G(d,p) (units in kcal/mol).

Entry	Anions **A'** 	Anions **A** 	R
1	7.54	0	Me
2	10.94	0	CF_3
3	11.90	0	$4\text{-}ClC_6H_4$
4	12.59	0	Ph
5	13.48	0	$4\text{-}MeC_6H_4$

Thus, the results of the calculations are consistent with the pathway shown in Scheme 4, with the experimental data presented herein, and with the results described by Carballares et al.[9] For 2-amino- and 3-amino-substituted imidazo[1,2-*a*]pyrimidine derivatives, isomerization through the Dimroth rearrangement is directed towards the formation of 2-aminoimidazo-pyrimidines when alkylamino groups are in the structure (compounds mentioned in previous studies[9]). However, the reaction is directed toward 3-amino-substituted isomers when strong N–H acidic sulfonyl amino groups are presented.

Conclusions

The reaction of 2-aminopyrimidines with *N*-(sulfonyl)-phenyldichloroacetaldimines leads to the formation of the

corresponding adducts, which can be transformed into 3-(sulfonylamino)imidazo[1,2-*a*]pyrimidine derivatives in good yields. On the basis of this reaction, an effective synthetic method for the preparation of 3-(sulfonylamino)imidazo[1,2-*a*]pyrimidines has been worked out. The advantages of this method are available starting reagents, mild conditions, and high selectivity. The known multistage methods,[6c,12] based on nitration or nitrosation of imidazo-pyrimidine substrate followed by reduction of nitro or nitroso groups, are much more laborious. The method based on 1,2-bis(benzotriazolyl)-1,2-diaminoethanes[13] is suitable for morpholine derivatives only. Other promising methods based on three-component reactions of isocyanides with aminopyrimidines and aldehydes[6a,14] require longer time (up to 48 h), expensive catalysts in some cases, and they are never used for the preparation of sulfonylamino-substituted derivatives containing the synthetically useful and pharmacophoric sulfonamide groups. It can be argued that the method proposed herein complements known literary protocols and expands the range of functionalized imidazopyrimidine derivatives, which are now available for further investigation of biological activity and other properties.

Experimental Section

General Remarks: All reagents were of reagent grade. Solvents were dried by standard procedures and distilled prior to use. NMR spectra were recorded with a Bruker DPX 400 spectrometer (^1H, 400.13 MHz; ^{13}C, 100.61 MHz; ^{15}N, 40.53 MHz) at 25 °C with HMDS as an internal standard. Chemical shifts are reported in ppm (δ) and coupling constants (J) in Hz. IR spectra were recorded with a Bruker IFS-25 spectrophotometer in KBr. Melting points were measured with a Kofler micro hot-stage apparatus. Elemental analyses for C, H, N, and S were obtained with a Thermo Finnigan Flash series1112 EA analyzer. Cl was determined by titration of the combustion products with mercuric nitrate in the presence of diphenylcarbazone. The GC/MS analyses were performed with a Shimadzu GC–MS-QP5050A instrument (EI, 70 eV).

The intensity data for the single crystal of **11c**·MeOH were collected with a SMART APEX DUO automated diffractometer (Bruker AXS) by using the standard procedure. It should be noted that **11c**·MeOH crystals were very small (0.02× 0.02× 0.02 mm) and their reflectance was quite poor. The structures were solved by direct methods and refined by the full-matrix least-squares procedure anisotropically for non-hydrogen atoms. The H atoms were calculated geometrically and included in the refinement as riding groups. All calculations were fulfilled with the SHELXTL 6.14 program package.

CCDC-1003709 contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

General Procedure for Synthesis of Imines 1a–c:[5] *N*,*N*-Dichloroarenesulfonamide (30 mmol) was added portionwise to a solution of phenylacetylene (4.10 g, 40 mmol) in CCl_4 (20 mL) under argon with stirring to avoid overheating to more than 40 °C. Once the self-heating interaction had been stopped, the reaction mixture was stirred for 3 h at 60 °C, then allowed to stand at –5 °C until a precipitate of imines **1**–**3** was formed. The precipitate was filtered off, washed with cold CCl_4 (3× 1 mL), and dried in vacuo with P_2O_5.

***N*-(2-Phenyl-2,2-dichloroethylidene)-4-chlorobenzenesulfonamide (1a):** Yield 10.12 g (93%); colorless solid; m.p. 104–105 °C. IR (KBr): $\tilde{\nu}$ = 1170, 1310 (SO_2), 1630 (C=N) cm^{-1}. ^1H NMR (400.13 MHz, $CDCl_3$): δ = 7.35, 7.90 (m, 9 H, Ph, C_6H_4), 8.60 (s, 1 H, N=CH) ppm. ^{13}C NMR (100.61 MHz, $CDCl_3$): δ = 85.70 (CCl_2), 127.08 ($C^{o\prime}$), 129.01 (C^o), 129.84 ($C^{m\prime}$), 129.87 (C^m), 130.57 ($C^{p\prime}$), 135.16 (C^i), 136.26 ($C^{i\prime}$), 141.33 (C^p), 168.59 (N=CH) ppm.

***N*-(2-Phenyl-2,2-dichloroethylidene)benzenesulfonamide (1b):** Yield 8.86 g (90%); colorless solid; m.p. 101–102 °C. IR (KBr): $\tilde{\nu}$ = 1160, 1310 (SO_2), 1620 (C=N) cm^{-1}. ^1H NMR (400.13 MHz, $CDCl_3$): δ = 7.33, 7.93 (m, 10 H, 2 Ph), 8.51 (s, 1 H, N=CH) ppm. ^{13}C NMR (100.61 MHz, $CDCl_3$): δ = 85.73 (CCl_2), 127.03 ($C^{o\prime}$), 128.36 (C^o), 128.95 ($C^{m\prime}$), 129.47 (C^m), 130.48 ($C^{p\prime}$), 134.45 (C^p), 136.39 (C^i), 136.57 ($C^{i\prime}$), 168.22 (N=CH) ppm.

***N*-(2-Phenyl-2,2-dichloroethylidene)-4-methylbenzenesulfonamide (1c):** Yield 9.03 g (88%); colorless solid; m.p. 105–106 °C. IR (KBr): $\tilde{\nu}$ = 1150, 1310 (SO_2), 1630 (C=N) cm^{-1}. ^1H NMR (400.13 MHz, $CDCl_3$): δ = 2.43 (s, 3 H, CH_3), 7.30, 7.82 (m, 9 H, Ph, C_6H_4), 8.56 (s, 1 H, N=CH) ppm. ^{13}C NMR (100.61 MHz, $CDCl_3$): δ = 21.69 (CH_3), 85.71 (CCl_2), 126.92 ($C^{o\prime}$), 128.34 (C^o), 128.86 ($C^{m\prime}$), 130.07 (C^m), 130.39 ($C^{p\prime}$), 133.24 (C^i), 136.32 ($C^{i\prime}$), 145.67 (C^p), 167.61 (N=CH) ppm.

General Procedure for Synthesis of Adducts 3–6: 2-Aminopyrimidine **2a**–**d** (2.8 mmol) was added to a solution of imine **1** (2.8 mmol) in 1,4-dioxane (10 mL) and the solution was stirred on a magnetic stirrer for 6 h at room temp. The reaction mixture was diluted with water (100 mL) and the precipitate was filtered off, dried, and recrystallized from ethanol.

4-Chloro-*N*-[2,2-dichloro-2-phenyl-1-(pyrimidin-2-ylamino)ethyl]-benzenesulfonamide (3a): Yield 1.10 g (86%); colorless solid; m.p. 196–198 °C. IR (KBr): $\tilde{\nu}$ = 1164, 1340 (SO_2), 3331 (NH) cm^{-1}. ^1H NMR (400.13 MHz, [D_6]DMSO): δ = 6.30 (dd, ^3J = 9.4, ^3J = 9.8 Hz, 1 H, CH), 6.55 (d, ^3J = 9.8 Hz, 1 H, NH), 6.58 (t, ^3J = 4.7 Hz, 1 H, 5-H), 7.25, 7.61 (AA′BB′, 4 H, 4-ClC_6H_4), 7.33–7.40 (m, 3 H, 3,4,5-H C_6H_5), 7.70 (m, 2 H, 2,6-H C_6H_5), 8.09 (d, ^3J = 4.7 Hz, 2 H, 4,6-H), 8.43 (d, ^3J = 9.4 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D_6]DMSO): δ = 69.90 (CH), 95.62 (CCl_2), 112.54 (C-5), 127.88, 128.50, 129.91, 139.08 (C_6H_5), 128.95, 129.19, 137.77, 139.96 (4-ClC_6H_4), 157.94 (C-4,6), 160.55 (C-2) ppm. $C_{18}H_{15}Cl_3N_4O_2S$ (457.76): calcd. C 47.23, H 3.30, Cl 23.23, N 12.24, S 7.00; found C 47.31, H 3.28, Cl 23.37, N 12.11, S 6.92.

***N*-[2,2-Dichloro-2-phenyl-1-(pyrimidin-2-ylamino)ethyl]benzenesulfonamide (3b):** Yield 0.75 g (63%); colorless solid; m.p. 184–185 °C. IR (KBr): $\tilde{\nu}$ = 1167, 1351 (SO_2), 3371 (NH) cm^{-1}. ^1H NMR (400.13 MHz, [D_6]DMSO): δ = 6.37 (dd, ^3J = 9.0, ^3J = 9.5 Hz, 1 H, CH), 6.50 (d, ^3J = 9.5 Hz, 1 H, NH), 6.55 (m, 1 H, 5-H), 7.22 (m, 2 H, 2,6-H $C_6H_5SO_2$), 7.33–7.38 (m, 4 H, 3,4,5-H C_6H_5, 4-H $C_6H_5SO_2$), 7.62 (m, 2 H, 3,5-H $C_6H_5SO_2$), 7.71 (m, 2 H, 2,6-H C_6H_5), 8.08 (m, 2 H, 4,6-H), 8.25 (d, ^3J = 9.0 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D_6]DMSO): δ = 69.93 (CH), 95.90 (CCl_2), 112.57 (C-5), 127.19, 127.98, 129.89, 139.14 (C_6H_5), 128.48, 128.84, 132.75, 141.14 ($C_6H_5SO_2$), 158.11 (C-4,6), 160.64 (C-2) ppm. $C_{18}H_{16}Cl_2N_4O_2S$ (423.32): calcd. C 51.07, H 3.81, Cl 16.75, N 13.24, S 7.57; found C 51.21, H 3.75, Cl 16.59, N 13.35, S 7.48.

***N*-[2,2-Dichloro-2-phenyl-1-(pyrimidin-2-ylamino)ethyl]-4-methylbenzenesulfonamide (3c):** Yield 0.76 g (62%); colorless solid; m.p. 194–196 °C. IR (KBr): $\tilde{\nu}$ = 1157, 1332 (SO_2), 3344 (NH) cm^{-1}. ^1H NMR (400.13 MHz, [D_6]DMSO): δ = 2.16 (s, 3 H, CH_3), 6.28 (dd,

^3J = 9.1, ^3J = 9.5 Hz, 1 H, CH), 6.40 (d, ^3J = 9.5 Hz, 1 H, NH), 6.56 (t, ^3J = 4.28 Hz, 1 H, 5-H), 6.97, 7.48 (AA′BB′, 4 H, 4-$CH_3C_6H_4$), 7.36–7.38 (m, 3 H, 3,4,5-H C_6H_5), 7.70 (m, 2 H, 2,6-H C_6H_5), 8.07–8.11 (m, 3 H, 4,6-H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 69.85 (CH), 95.85 (CCl_2), 112.32 (C-5), 127.27, 127.93, 129.80, 139.06 (C_6H_5), 128.40, 129.22, 137.98, 142.93 (4-$CH_3C_6H_4$), 157.80 (C-4,6), 160.54 (C-2) ppm. $C_{19}H_{18}Cl_2N_4O_2S$ (437.34): calcd. C 52.18, H 4.15, Cl 16.21, N 12.81, S 7.33; found C 52.05, H 4.08, Cl 16.36, N 12.62, S 7.24.

4-Chloro-*N*-{2,2-dichloro-1-[(4-methylpyrimidin-2-yl)amino]-2-phenylethyl}benzenesulfonamide (4a): Yield 0.94 g (71%); colorless solid; m.p. 179–181 °C. IR (KBr): $\tilde{\nu}$ = 1163, 1338 (SO_2), 3327 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.14 (s, 3 H, CH_3), 6.27–6.31 (m, 2 H, CH, NH), 6.46 (br.s, 1 H, 5-H), 7.25, 7.61 (AA′BB′, 4 H, 4-ClC_6H_4), 7.36–7.40 (m, 3 H, 3,4,5-H C_6H_5), 7.70 (m, 2 H, 2,6-H C_6H_5), 7.92 (br. s, 1 H, 6-H), 8.37 (d, ^3J = 6.4 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 23.83 (CH_3), 69.79 (CH), 95.54 (CCl_2), 111.95 (C-5), 127.85, 128.42, 129.84, 139.04 (C_6H_5), 128.85, 129.15, 137.68, 139.64 (4-ClC_6H_4), 157.31 (C-6), 160.31 (C-2), 167.73 (C-4) ppm. $C_{19}H_{17}Cl_3N_4O_2S$ (471.79): calcd. C 48.37, H 3.63, Cl 22.54, N 11.88, S 6.80; found C 48.24, H 3.65, Cl 22.41, N 11.97, S 6.88.

***N*-{2,2-Dichloro-1-[(4-methylpyrimidin-2-yl)amino]-2-phenylethyl}-benzenesulfonamide (4b):** Yield 1.11 g (91%); colorless solid; m.p. 180–182 °C. IR (KBr): $\tilde{\nu}$ = 1166, 1329 (SO_2), 3329 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.11 (s, 3 H, CH_3), 6.34–6.39 (m, 2 H, CH, NH), 6.41 (br. s, 1 H, 5-H), 7.20 (m, 2 H, 3,5-H C_6H_5), 7.30–7.37 (m, 4 H, 3,4,5-H C_6H_5, 4-H $C_6H_5SO_2$), 7.62 (m, 2 H, 2.6-H C_6H_5), 7.69 (m, 2 H, 2,6-H C_6H_5), 7.91 (br. s, 1 H, 6-H), 8.28 (d, ^3J = 5.9 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 23.80 (CH_3), 69.75 (CH), 95.79 (CCl_2), 111.91 (C-5), 127.06, 127.85, 129.75, 139.08 (C_6H_5), 128.32, 128.65, 132.54, 141.01 ($C_6H_5SO_2$), 157.16 (C-6), 160.32 (C-2), 167.70 (C-4) ppm. $C_{19}H_{18}Cl_2N_4O_2S$ (437.34): calcd. C 52.18, H 4.15, Cl 16.21, N 12.81, S 7.33; found C 52.03, H 4.11, Cl 16.29, N 12.70, S 7.29.

***N*-{2,2-Dichloro-1-[(4-methylpyrimidin-2-yl)amino]-2-phenylethyl}-4-methylbenzenesulfonamide (4c):** Yield 0.76 g (60%); orange solid; m.p. 166–168 °C. IR (KBr): $\tilde{\nu}$ = 1160, 1329 (SO_2), 3328 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.12 (s, 3 H, CH_3-Pyr), 2.22 (s, 3 H, CH_3), 6.24–6.29 (m, 2 H, CH, NH), 6.44 (br. s, 1 H, 5-H), 6.97, 7.49 (AA′BB′, 4 H, 4-$CH_3C_6H_4$), 7.34–7.39 (m, 3 H, 3,4,5-H C_6H_5), 7.70 (m, 2 H, 2,6-H C_6H_5), 7.90 (br. s, 1 H, 6-H), 8.07 (d, ^3J = 6.9 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 21.31 (CH_3), 23.91 (*C*H_3-Pyr), 69.88 (CH), 95.90 (CCl_2), 111.81 (C-5), 128.00, 128.41, 129.83, 139.16 (C_6H_5), 127.34, 129.21, 138.01, 142.93 (4-$CH_3C_6H_4$), 157.43 (C-6), 160.41 (C-2), 167.50 (C-4) ppm. $C_{20}H_{20}Cl_2N_4O_2S$ (451.37): calcd. C 53.22, H 4.47, Cl 15.71, N 12.41, S 7.01; found C 53.14, H 4.42, Cl 15.62, N 12.35, S 7.08.

4-Chloro-*N*-{2,2-dichloro-1-[(4,6-dimethylpyrimidin-2-yl)amino]-2-phenylethyl}benzenesulfonamide (5a): Yield 1.18 g (87%); colorless solid; m.p. 184–186 °C. IR (KBr): $\tilde{\nu}$ = 1170, 1344 (SO_2), 3398 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.09 (s, 6 H, CH_3), 6.12 (d, ^3J = 9.4 Hz, 1 H, NH), 6.28 (dd, ^3J = 8.4, ^3J = 9.4 Hz, 1 H, CH), 6.32 (s, 1 H, 5-H), 7.24, 7.62 (AA′BB′, 4 H, 4-ClC_6H_4), 7.36–7.38 (m, 3 H, 3,4,5-H C_6H_5), 7.70 (m, 2 H, 2,6-H C_6H_5), 8.34 (d, ^3J = 8.4 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 23.58 (CH_3), 69.69 (CH), 95.45 (CCl_2), 111.15 (C-5), 127.81, 128.29, 129.74, 138.98 (C_6H_5), 128.68, 129.07, 137.58, 139.53 (4-ClC_6H_4), 160.11 (C-2), 166.72 (C-4,6) ppm. $C_{20}H_{19}Cl_3N_4O_2S$ (485.81): calcd. C 49.45, H 3.94, Cl 21.89, N 11.53, S 6.60; found C 49.33, H 3.89, Cl 21.75, N 11.49, S 6.76.

***N*-{2,2-Dichloro-1-[(4,6-dimethylpyrimidin-2-yl)amino]-2-phenylethyl}benzenesulfonamide (5b):** Yield 0.82 g (65%); light-brown solid; m.p. 172–174 °C. IR (KBr): $\tilde{\nu}$ = 1170, 1340 (SO_2), 3408 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.06 (s, 6 H, CH_3), 6.14 (d, ^3J = 9.6 Hz, 1 H, NH), 6.27 (s, 1 H, 5-H), 6.36 (dd, ^3J = 9.1, ^3J = 9.6 Hz, 1 H, CH), 7.20 (m, 2 H, 3.5-H C_6H_5), 7.28–7.36 (m, 4 H, 3,4,5-H C_6H_5, 4-H $C_6H_5SO_2$), 7.64 (m, 2 H, 2.6-H C_6H_5), 7.70 (m, 2 H, 2,6-H C_6H_5), 8.28 (d, ^3J = 9.1 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 23.16 (CH_3), 69.30 (CH), 95.58 (CCl_2), 110.74 (C-5), 126.65, 127.45, 129.30, 138.71 (C_6H_5), 127.85, 128.13, 132.03, 140.63 ($C_6H_5SO_2$), 159.76 (C-2), 166.50 (C-4,6) ppm. $C_{20}H_{20}Cl_2N_4O_2S$ (451.37): calcd. C 53.22, H 4.47, Cl 15.71, N 12.41, S 7.10; found C 53.11, H 4.41, Cl 15.87, N 12.37, S 7.17.

***N*-{2,2-Dichloro-1-[(4,6-dimethylpyrimidin-2-yl)amino]-2-phenylethyl}-4-methylbenzenesulfonamide (5c):** Yield 0.86 g (66%); colorless solid; m.p. 188–190 °C. IR (KBr): $\tilde{\nu}$ = 1163, 1338 (SO_2), 3393 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.07 (s, 6 H, CH_3-Pyr), 2.15 (s, 3 H, CH_3), 6.04 (d, ^3J = 9.5 Hz, 1 H, NH), 6.25 (dd, ^3J = 9.3, ^3J = 9.5 Hz, 1 H, CH), 6.29 (s, 1 H, 5-H), 6.96, 7.50 (AA′BB′,4 H, 4-$CH_3C_6H_4$), 7.31–7.39 (m, 3 H, 3,4,5-H C_6H_5), 7.70 (m, 2 H, 2,6-H C_6H_5), 8.06 (d, ^3J = 9.3 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 21.26 (CH_3), 23.71 (*C*H_3-Pyr), 69.83 (CH), 95.87 (CCl_2), 111.03 (C-5), 128.03, 128.35, 129.79, 139.16 (C_6H_5), 127.35, 129.09, 137.99, 142.85 (4-$CH_3C_6H_4$), 160.27 (C-2), 166.53 (C-4,6) ppm. $C_{21}H_{22}Cl_2N_4O_2S$ (465.40): calcd. C 54.20, H 4.76, Cl 15.24, N 12.04, S 6.89; found C 54.29, H 4.74, Cl 15.11, N 12.09, S 6.95.

4-Chloro-*N*-{2,2-dichloro-1-[(4-chloro-6-methylpyrimidin-2-yl)-amino]-2-phenylethyl}benzenesulfonamide (6a): Yield 1.28 g (90%); colorless solid; m.p. 159–161 °C. IR (KBr): $\tilde{\nu}$ = 1169, 1343 (SO_2), 3218, 3351 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.15 and 2.21 (s and s, 3 H, CH_3), 6.26 and 6.08 (br. s and br. s, 1 H, CH), 6.54 and 6.58 (s and s, 1 H, 5-H), 6.98 (br. s, 1 H, NH), 7.30, 7.65 (AA′BB′, 4 H, 4-ClC_6H_4), 7.35–7.37 (m, 3 H, 3,4,5-H C_6H_5), 7.69 (m, 2 H, 2,6-H C_6H_5), 8.41 (d, ^3J = 9.5 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 22.98 and 23.23 (CH_3), 69.43 (CH), 94.44 (CCl_2), 108.09 and 110.28 (C-5), 127.37, 127.89, 129.41, 138.25 (C_6H_5), 128.36, 128.72, 137.37, 138.95 (4-ClC_6H_4), 159.78 (C-2), 169.13 (C-4), 169.76 (C-6) ppm. $C_{19}H_{16}Cl_4N_4O_2S$ (506.23): calcd. C 45.08, H 3.19, Cl 28.01, N 11.07, S 6.33; found C 45.21, H 3.22, Cl 28.15, N 11.19, S 6.29.

***N*-{2,2-Dichloro-1-[(4-chloro-6-methylpyrimidin-2-yl)amino]-2-phenylethyl}benzenesulfonamide (6b):** Yield 1.07 g (81%); colorless solid; m.p. 143–145 °C. IR (KBr): $\tilde{\nu}$ = 1165, 1334 (SO_2), 3372 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.07 and 2.12 (s and s, 3 H, CH_3), 6.11 and 6.27 (br. s and br. s, 1 H, CH), 6.51 (s, 1 H, 5-H), 6.96 (br. s, 1 H, NH), 7.26 (m, 2 H, 3,5-H $C_6H_5SO_2$), 7.33–7.37 (m, 4 H, 3,4,5-H C_6H_5, 4-H $C_6H_5SO_2$), 7.65–7.70 (m, 4 H, 2,6-H C_6H_5, 2,6-H $C_6H_5SO_2$), 8.31 (d, ^3J = 9.3 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 22.96 and 23.26 (CH_3), 69.44 (CH), 94.74 (CCl_2), 110.18 and 110.39 (C-5), 126.75, 127.44, 129.40, 138.36 (C_6H_5), 127.88, 128.21, 132.20, 140.36 ($C_6H_5SO_2$), 159.44 and 159.84 (C-2), 169.07 (C-4), 169.75 (C-6) ppm. $C_{19}H_{17}Cl_3N_4O_2S$ (471.79): calcd. C 48.37, H 3.63, Cl 22.54, N 11.88, S 6.80; found C 48.49, H 3.59, Cl 22.45, N 11.81, S 6.75.

***N*-{2,2-Dichloro-1-[(4-chloro-6-methylpyrimidin-2-yl)amino]-2-phenylethyl}-4-methylbenzenesulfonamide (6c):** Yield 1.12 g (82%); colorless solid; m.p. 184–186 °C. IR (KBr): $\tilde{\nu}$ = 1170, 1341 (SO_2), 3409 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.14 (s, 3 H,

CH_3), 2.18 (s, 3 H, CH_3), 6.03 and 6.19 (br. s and br. s, 1 H, CH), 6.57 (s, 1 H, 5-H), 6.83 (br. s, 1 H, NH), 7.02, 7.52 (AA′BB′, 4 H, 4-$CH_3C_6H_4$), 7.36–7.38 (m, 3 H, 3,4,5-H C_6H_5), 7.68 (m, 2 H, 2,6-H C_6H_5), 8.09 (d, ^3J = 9.5 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 20.75 (CH_3), 22.91 and 23.23 (CH_3), 69.46 (CH), 94.70 (CCl_2), 110.05 (C-5), 126.92, 127.47, 129.38, 138.31 (C_6H_5), 127.86, 128.65, 137.17, 142.62 (4-$CH_3C_6H_4$), 159.43 and 159.80 (C-2), 169.01 (C-4), 169.69 (C-6) ppm. $C_{20}H_{19}Cl_3N_4O_2S$ (485.81): calcd. C 49.45, H 3.94, Cl 21.89, N 11.53, S 6.60; found C 49.57, H 3.90, Cl 21.99, N 11.60, S 6.51.

General Procedure for the Synthesis of Adducts 7 and 8: 2-Aminopyrimidine **2e**,**f** (2.8 mmol) was added to a solution of imine **1** (2.8 mmol) in DMF (10 mL) and the solution was stirred on magnetic stirrer for 5 h at room temp. The reaction mixture was shaken with acetone (30 mL), diluted with water (100 mL) and allowed to stand for 5 h. The precipitate was filtered off, dried, and recrystallized from ethanol.

***N*-{1-[(5-Bromopyrimidin-2-yl)amino]-2,2-dichloro-2-phenylethyl}-4-chlorobenzenesulfonamide (7a):** Yield 1.20 g (80%); colorless solid; m.p. 184–186 °C. IR (KBr): $\tilde{\nu}$ = 1166, 1352 (SO_2), 3228, 3350 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 6.16 (dd, ^3J = 9.1, ^3J = 9.7 Hz, 1 H, CH), 6.99 (d, ^3J = 9.7 Hz, 1 H, NH), 7.29, 7.62 (AA′BB′, 4 H, 4-ClC_6H_4), 7.36–7.40 (m, 3 H, 3,4,5-H C_6H_5), 7.69 (m, 2 H, 2,6-H C_6H_5), 8.21 (s, 2 H, 4,6-H), 8.48 (d, ^3J = 9.1 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 70.27 (CH), 95.19 (CCl_2), 108.02 (C-5), 127.85, 128.57, 129.99, 138.90 (C_6H_5), 129.02, 129.31, 137.98, 139.64 (4-ClC_6H_4), 157.89 (C-4,6), 159.17 (C-2) ppm. $C_{18}H_{14}BrCl_3N_4O_2S$ (536.66): calcd. C 40.29, H 2.63, N 10.44, S 5.97; found C 40.17, H 2.60, N 10.30, S 5.93.

***N*-{1-[(5-Bromopyrimidin-2-yl)amino]-2,2-dichloro-2-phenylethyl}-benzenesulfonamide (7b):** Yield 0.98 g (70%); colorless solid; m.p. 166–168 °C. IR (KBr): $\tilde{\nu}$ = 1160, 1341 (SO_2), 3292, 3395 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 6.24 (dd, ^3J = 9.5, ^3J = 9.6 Hz, 1 H, CH), 6.95 (d, ^3J = 9.6 Hz, 1 H, NH), 7.24 (m, 2 H, 3.5-H C_6H_5), 7.36–7.40 (m, 4 H, 3,4,5-H C_6H_5, 4-H $C_6H_5SO_2$), 7.63 (m, 2 H, 2.6-H C_6H_5), 7.69 (m, 2 H, 2,6-H C_6H_5), 8.19 (s, 2 H, 4,6-H), 8.33 (d, ^3J = 9.5 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 70.29 (CH), 95.42 (CCl_2), 107.85 (C-5), 127.23, 127.90, 129.96, 138.98 (C_6H_5), 128.53, 128.92, 132.71, 141.07 ($C_6H_5SO_2$), 158.09 (C-4,6), 159.21 (C-2) ppm. $C_{18}H_{15}BrCl_2N_4O_2S$ (502.21): calcd. C 43.05, H 3.01, N 11.16, S 6.38; found C 43.15, H 3.05, N 11.32, S 6.24.

***N*-{1-[(5-Bromopyrimidin-2-yl)amino]-2,2-dichloro-2-phenylethyl}-4-methylbenzenesulfonamide (7c):** Yield 1.30 g (90%); colorless solid; m.p. 181–183 °C. IR (KBr): $\tilde{\nu}$ = 1155, 1333 (SO_2), 3329 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.22 (s, 3 H, CH_3), 6.10 (dd, ^3J = 9.5, ^3J = 9.5 Hz, 1 H, CH), 6.77 (d, ^3J = 9.5 Hz, 1 H, NH), 7.01, 7.48 (AA′BB′, 4 H, 4-$CH_3C_6H_4$), 7.37–7.39 (m, 3 H, 3,4,5-H C_6H_5), 7.69 (m, 2 H, 2,6-H C_6H_5), 8.14 (d, ^3J = 9.5 Hz, 1 H, $NHSO_2$), 8.18 (s, 2 H, 4,6-H) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 20.93 (CH_3), 69.79 (CH), 94.95 (CCl_2), 107.37 (C-5), 126.98, 127.48, 129.47, 138.44 (C_6H_5), 128.05, 128.85, 137.42, 142.74 (4-$CH_3C_6H_4$), 157.53 (C-4,6), 158.74 (C-2) ppm. $C_{19}H_{17}BrCl_2N_4O_2S$ (516.24): calcd. C 44.20, H 3.32, N 10.85, S 6.21; found C 44.05, H 3.29, N 10.79, S 6.18.

4-Chloro-*N*-{2,2-dichloro-1-[(5-chloropyrimidin-2-yl)amino]-2-phenylethyl}benzenesulfonamide (8a): Yield 0.35 g (25%); colorless solid; m.p. 181–183 °C. IR (KBr): $\tilde{\nu}$ = 1162, 1334 (SO_2), 3383 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 6.17 (br. s, 1 H, CH), 7.01 (d, ^3J = 9.1 Hz, 1 H, NH), 7.30, 7.62 (AA′BB′, 4 H, 4-ClC_6H_4), 7.37–7.38 (m, 3 H, 3,4,5-H C_6H_5), 7.69 (m, 2 H, 2,6-H C_6H_5), 8.16 (s, 2 H, 4,6-H), 8.50 (br. s, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 70.27 (CH), 95.15 (CCl_2), 119.98 (C-5), 127.77, 128.47, 129.90, 138.82 (C_6H_5), 128.94, 129.22, 137.86, 139.59 (4-ClC_6H_4), 156.03 (C-4,6), 158.96 (C-2) ppm. $C_{18}H_{14}Cl_4N_4O_2S$ (492.21): calcd. C 43.92, H 2.87, Cl 28.81, N 11.38, S 6.51; found C 43.83, H 2.84, Cl 28.75, N 11.25, S 6.47.

***N*-{2,2-Dichloro-1-[(5-chloropyrimidin-2-yl)amino]-2-phenylethyl}-benzenesulfonamide (8b):** Yield 0.50 g (39%); colorless solid; m.p. 181–183 °C. IR (KBr): $\tilde{\nu}$ = 1162, 1339 (SO_2), 1627 (C=N), 3417 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 6.25 (dd, ^3J = 9.5, ^3J = 9.8 Hz, 1 H, CH), 6.96 (d, ^3J = 9.8 Hz, 1 H, NH), 7.24 (m, 2 H, 3.5-H C_6H_5), 7.36–7.39 (m, 4 H, 3,4,5-H C_6H_5, 4-H $C_6H_5SO_2$), 7.63 (m, 2 H, 2.6-H C_6H_5), 7.69 (m, 2 H, 2,6-H C_6H_5), 8.15 (s, 2 H, 4,6-H), 8.34 (d, ^3J = 9.5 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 70.37 (CH), 95.46 (CCl_2), 119.84 (C-5), 127.23, 127.90, 129.95, 138.99 (C_6H_5), 128.52, 128.91, 132.71, 141.07 ($C_6H_5SO_2$), 156.18 (C-4,6), 159.09 (C-2) ppm. $C_{18}H_{15}Cl_3N_4O_2S$ (457.76): calcd. C 47.23, H 3.30, Cl 23.23, N 12.24, S 7.00; found C 47.32, H 3.38, Cl 23.15, N 12.38, S 7.16.

***N*-{2,2-Dichloro-1-[(5-chloropyrimidin-2-yl)amino]-2-phenylethyl}-4-methylbenzenesulfonamide (8c):** Yield 0.58 g (44%); colorless solid; m.p. 172–174 °C. IR (KBr): $\tilde{\nu}$ = 1156, 1334 (SO_2), 3330, 3425 (NH) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.19 (s, 3 H, CH_3), 6.13 (dd, ^3J = 9.1, ^3J = 9.5 Hz, 1 H, CH), 6.81 (d, ^3J = 9.5 Hz, 1 H, NH), 7.01, 7.48 (AA′BB′, 4 H, 4-$CH_3C_6H_4$), 7.37–7.39 (m, 3 H, 3,4,5-H C_6H_5), 7.69 (m, 2 H, 2,6-H C_6H_5), 8.14 (s, 2 H, 4,6-H), 8.16 (d, ^3J = 9.1 Hz, 1 H, $NHSO_2$) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 20.84 (CH_3), 69.88 (CH), 94.99 (CCl_2), 119.38 (C-5), 126.96, 127.48, 129.46, 138.45 (C_6H_5), 128.04, 128.85, 137.47, 142.71 (4-$CH_3C_6H_4$), 155.45 (C-4,6), 158.63 (C-2) ppm. $C_{19}H_{17}Cl_3N_4O_2S$ (471.79): calcd. C 48.37, H 3.63, Cl 22.54, N 11.88, S 6.80; found C 48.15, H 3.55, Cl 22.42, N 11.79, S 6.75.

General Procedure for Synthesis of Imidazo-pyrimidines 11–14: 2-Aminopyrimidine **2a**–**d** (2.8 mmol) was added to a solution of imine **1** (2.8 mmol) in 1,4-dioxane (10 mL) and the solution was stirred on a magnetic stirrer for 5 h at room temp. NaOH (0.50 g, 11.2 mmol) and 1,4-dioxane (20 mL) were then added to the reaction mixture and stirring was continued for 5 h at room temp. The reaction mixture was diluted with water (100 mL), then 10% HCl was added to pH 6, the precipitate was filtered off, dried, and recrystallized from ethanol.

***N*-(2-Phenylimidazo[1,2-*a*]pyrimidine-3-yl)-4-chlorobenzenesulfonamide (11a):** Yield 0.81 g (76%); colorless solid; m.p. 265–267 °C. IR (KBr): $\tilde{\nu}$ = 1164, 1331 (SO_2), 1615 (C=N) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 7.13 (dd, ^3J = 4.1, ^3J = 6.8 Hz, 1 H, 6-H), 7.15–7.20 (m, 3 H, 3,4,5-H C_6H_5), 7.22, 7.40 (AA′BB′, 4 H, 4-ClC_6H_4), 7.57 (m, 2 H, 2,6-H C_6H_5), 8.63 (dd, ^3J = 4.1, ^4J = 2.0 Hz, 1 H, 7-H), 8.77 (dd, ^3J = 6.8, ^4J = 2.0 Hz, 1 H, 5-H) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 109.56 (C-6), 111.75 (C-3), 128.60, 129.24, 137.96, 138.44 (4-ClC_6H_4), 128.14, 127.27, 127.99, 131.88 (C_6H_5), 132.63 (C-5), 141.20 (C-2), 145.64 (C-8a), 151.99 (C-7) ppm. $C_{18}H_{13}ClN_4O_2S$ (384.84): calcd. C 56.18, H 3.40, Cl 9.21, N 14.56, S 8.33; found C 56.38, H 3.46, Cl 9.34, N 14.45, S 8.38.

***N*-(2-Phenylimidazo[1,2-*a*]pyrimidine-3-yl)benzenesulfonamide (11b):** Yield 0.96 g (89%); colorless solid; m.p. 273–275 °C. IR (KBr): $\tilde{\nu}$ = 1168, 1331 (SO_2), 1615 (C=N) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 7.12 (dd, ^3J = 4.5, ^3J = 6.6 Hz, 1 H, 6-H), 7.16–7.18 (m, 3 H, 3,4,5-H C_6H_5), 7.29 (m, 2 H, 3,5-H $C_6H_5SO_2$), 7.42 (m, 1 H, 4-H $C_6H_5SO_2$), 7.53 (m, 2 H, 2,6-H

$C_6H_5SO_2$), 7.69 (m, 2 H, 2,6-H C_6H_5), 8.61 (dd, ^3J = 4.5, ^4J = 2.0 Hz, 1 H, 7-H), 8.63 (dd, ^4J = 2.0, ^3J = 6.6 Hz, 1 H, 5-H), 10.84 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 109.68 (C-6), 112.32 (C-3), 127.60, 127.55, 128.54, 132.52 (C_6H_5), 128.50, 129.59, 133.71, 140.18 ($C_6H_5SO_2$), 132.79 (C-5), 141.34 (C-2), 145.94 (C-8a), 152.10 (C-7) ppm. $C_{18}H_{14}N_4O_2S$ (350.39): calcd. C 61.70, H 4.03, N 15.99, S 9.15; found C 61.86, H 3.98, N 15.82, S 9.21.

***N*-(2-Phenylimidazo[1,2-*a*]pyrimidine-3-yl)-4-methylbenzenesulfonamide (11c):** Yield 0.66 g (65%); colorless solid; m.p. 253–255 °C. IR (KBr): $\tilde{\nu}$ = 1169, 1330 (SO_2), 1615 (C=N) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.19 (s, 3 H, CH_3), 7.02, 7.33 (AA′BB′, 4 H, 4-$CH_3C_6H_4$), 7.12 (dd, ^3J = 4.1, ^3J = 6.9 Hz, 1 H, 6-H), 7.15, 7.20 (m, 3 H, 3,4,5-H C_6H_5), 7.62 (m, 2 H, 2,6-H C_6H_5), 8.61 (dd, ^3J = 4.1, ^4J = 2.0 Hz, 1 H, 7-H), 8.66 (dd, ^3J = 6.9, ^4J = 2.0 Hz, 1 H, 5-H), 10.71 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 20.87 (CH_3), 109.09 (C-6), 111.93 (C-3), 126.53, 129.44, 136.32, 143.52 (4-$CH_3C_6H_4$), 127.84, 127.05, 127.61, 131.99 (C_6H_5), 132.29 (C-5), 140.88 (C-2), 145.37 (C-8a), 151.48 (C-7) ppm. MS (EI): *m*/*z* (%) = 207 (100) [M – $ArSO_2$-H-H]$^+$, 181 (7), 153 (13), 129 (25), 103 (21). $C_{19}H_{16}N_4O_2S$ (364.42): calcd. C 62.62, H 4.43, N 15.37, S 8.80; found C 62.76, H 4.37, N 15.22, S 8.92.

4-Chloro-*N*-(7-methyl-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)benzenesulfonamide (12a): Yield 0.57 g (51%); colorless solid; m.p. 223–225 °C. IR (KBr): $\tilde{\nu}$ = 1165, 1322 (SO_2), 1622 (C=N) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.57 (s, 3 H, CH_3), 7.06 (d, ^3J = 6.9 Hz, 1 H, 6-H), 7.13–7.20 (m, 3 H, 3,4,5-H C_6H_5), 7.22, 7.39 (AA′BB′, 4 H, 4-ClC_6H_4), 7.56 (m, 2 H, 2,6-H C_6H_5), 8.61 (d, ^3J = 6.9 Hz, 1 H, 5-H) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]-DMSO): δ = 24.45 (CH_3), 109.97 (C-6), 111.37 (C-3), 125.77, 126.85, 127.49, 131.97 (C_6H_5), 128.33, 128.94, 131.68, 138.01 (4-ClC_6H_4), 138.01 (C-2), 131.67 (C-5), 145.34 (C-8a), 161.35 (C-7) ppm. $C_{19}H_{15}ClN_4O_2S$ (398.87): calcd. C 52.21, H 3.79, Cl 8.89, N 14.05, S 8.04; found C 52.35, H 3.71, Cl 8.98, N 14.25, S 8.15.

***N*-(7-Methyl-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)benzenesulfonamide (12b):** Yield 0.66 g (65%); colorless solid; m.p. 279–281 °C. IR (KBr): $\tilde{\nu}$ = 1168, 1335 (SO_2), 1622 (C=N) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.55 (s, 3 H, CH_3), 7.00 (d, ^3J = 6.8 Hz, 1 H, 6-H), 7.16 (m, 2 H, 3,5-H C_6H_5), 7.16 (m, 1 H, 4-H C_6H_5), 7.28 (m, 2 H, 3,5-H $C_6H_5SO_2$), 7.42 (m, 1 H, 4-H $C_6H_5SO_2$), 7.51 (m, 2 H, 2,6-H $C_6H_5SO_2$), 7.65 (m, 2 H, 2,6-H C_6H_5), 8.44 (d, ^3J = 6.8 Hz, 1 H, 5-H) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 24.91 (CH_3), 110.27 (C-6), 111.95 (C-3), 126.95, 127.27, 128.21, 132.58 (C_6H_5), 128.34, 129.44, 133.51, 140.19 ($C_6H_5SO_2$), 131.95 (C-5), 140.19 (C-2), 145.74 (C-8a), 161.66 (C-7) ppm. $C_{19}H_{16}N_4O_2S$ (364.42): calcd. C 62.62, H 4.43, N 15.37, S 8.80; found C 62.54, H 4.38, N 15.18, S 8.65.

4-Methyl-*N*-(7-methyl-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)benzenesulfonamide (12c): Yield 0.56 g (53%); colorless solid; m.p. 252–254 °C. IR (KBr): $\tilde{\nu}$ = 1162, 1335 (SO_2), 1623 (C=N) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.20 (s, 3 H, CH_3), 2.56 (s, 3 H, CH_3), 7.00, 7.33 (AA′BB′, 4 H, 4-$CH_3C_6H_4$), 7.03 (d, ^3J = 6.8 Hz, 1 H, 6-H), 7.12–7.18 (m, 3 H, 3,4,5-H C_6H_5), 7.59 (m, 2 H, 2,6-H C_6H_5), 8.50 (d, ^3J = 6.8 Hz, 1 H, 5-H), 10.62 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 21.35 (CH_3), 24.97 (CH_3), 110.30 (C-6), 111.91 (C-3), 127.01, 127.39, 127.90, 132.64 (C_6H_5), 128.26, 129.89, 136.83, 143.96 (4-$CH_3C_6H_4$), 140.64 (C-2), 132.06 (C-5), 145.83 (C-8a), 161.62 (C-7) ppm. $C_{20}H_{18}N_4O_2S$ (378.45): calcd. C 63.47, H 4.79, N 14.80, S 8.47; found C 63.35, H 4.86, N 14.68, S 8.56.

4-Chloro-*N*-(5,7-dimethyl-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)-benzenesulfonamide (13a): Yield 0.69 g (60%); colorless solid; m.p. 244–246 °C. IR (KBr): $\tilde{\nu}$ = 1165, 1343 (SO_2), 1615 (C=N) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.50 (s, 3 H, CH_3), 2.96 (s, 3 H, CH_3), 6.88 (s, 1 H, 6-H), 7.14, 7.29 (AA′BB′, 4 H, 4-ClC_6H_4), 7.06–7.15 (m, 3 H, 3,4,5-H C_6H_5), 7.48 (m, 2 H, 2,6-H C_6H_5), 10.80 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 18.86 (CH_3), 24.04 (CH_3), 111.49 (C-6), 111.78 (C-3), 127.32, 127.32, 127.79, 132.33 (C_6H_5), 128.37, 128.80, 138.08, 138.71 (4-ClC_6H_4), 142.25 (C-2), 145.52 (C-5), 146.62 (C-8a), 160.75 (C-7) ppm. MS (EI): *m*/*z* (%) = 236 (100) [M – $ArSO_2H$]$^+$, 209 (49), 183 (23), 133 (28), 106 (40). $C_{20}H_{17}ClN_4O_2S$ (412.89): calcd. C 58.18, H 4.15, Cl 8.59, N 13.57, S 7.77; found C 58.05, H 4.05, Cl 8.41, N 13.38, S 7.63.

***N*-(5,7-Dimethyl-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)benzenesulfonamide (13b):** Yield 0.76 g (77%); light-brown solid; m.p. 237–239 °C. IR (KBr): $\tilde{\nu}$ = 1160, 1340 (SO_2), 1615 (C=N) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.64 (s, 3 H, CH_3), 3.04 (s, 3 H, CH_3), 7.14–7.19 (m, 4 H, 3,4,5-H C_6H_5, 6-H), 7.23 (m, 1 H, 4-H $C_6H_5SO_2$), 7.33 (m, 2 H, 3,5-H $C_6H_5SO_2$), 7.41 (m, 2 H, 2,6-H $C_6H_5SO_2$), 7.53 (m, 2 H, 2,6-H C_6H_5) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 18.78 (CH_3), 24.17 (CH_3), 113.62 (C-6), 114.91 (C-3), 126.44, 127.09, 127.68, 129.37 (C_6H_5), 128.31, 128.73, 133.06, 139.60 ($C_6H_5SO_2$), 135.58 (C-5), 143.81 (C-2), 147.88 (C-8a), 166.09 (C-7) ppm. $C_{18}H_{14}N_4O_2S$ (350.39): calcd. C 61.70, H 4.03, N 15.99, S 9.15; found C 61.86, H 3.95, N 15.82, S 8.97.

4-Methyl-*N*-(5,7-dimethyl-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)-benzenesulfonamide (13c): Yield 0.70 g (64%); brown solid; m.p. 242–244 °C. IR (KBr): $\tilde{\nu}$ = 1161, 1327 (SO_2), 1623 (C=N) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.17 (s, 3 H, CH_3), 2.50 (s, 3 H, CH_3-C5), 2.96 (s, 3 H, CH_3-C7), 6.87, 7.19 (AA′BB′, 4 H, 4-$CH_3C_6H_4$), 7.05 (m, 2 H, 3,5-H C_6H_5), 7.12 (m, 1 H, 4-H C_6H_5), 7.52 (m, 2 H, 2,6-H C_6H_5), 10.81 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 19.34 (CH_3), 21.35 (CH_3), 24.54 (CH_3), 111.81 (C-6), 112.63 (C-3), 127.49, 127.76, 128.12, 132.92 (C_6H_5), 126.94, 129.55, 137.33, 143.44 (4-$CH_3C_6H_4$), 142.65 (C-2), 146.04 (C-5), 146.99 (C-8a), 160.96 (C-7) ppm. $C_{21}H_{20}N_4O_2S$ (392.47): calcd. C 64.27, H 5.14, N 14.28, S 8.17; found C 64.15, H 5.06, N 14.08, S 8.06.

4-Chloro-*N*-(7-chloro-5-methyl-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)benzenesulfonamide (14a): Yield 0.96 g (79%); colorless solid; m.p. 249–251 °C. IR (KBr): $\tilde{\nu}$ = 1164, 1338 (SO_2), 1611 (C=N) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 3.00 (s, 3 H, CH_3), 7.08–7.19 (m, 6 H, 6-H, 3,4,5-H C_6H_5, 2,6-H 4-ClC_6H_4), 7.31 (d, 2 H, 3,5-H 4-ClC_6H_4), 7.49 (m, 2 H, 2,6-H C_6H_5), 10.97 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 19.24 (CH_3), 11.45 (C-6), 113.52 (C-3), 127.84, 128.17, 128.33, 131.91 (C_6H_5), 128.80, 129.28, 138.54 (4-ClC_6H_4), 143.48 (C-2), 145.46 (C-5), 149.45 (C-8a), 151.64 (C-7) ppm. $C_{19}H_{14}Cl_2N_4O_2S$ (433.31): calcd. C 52.67, H 3.26, Cl 16.36, N 12.93, S 7.40; found C 52.60, H 3.29, Cl 16.42, N 12.99, S 7.28.

***N*-(7-Chloro-5-methyl-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)benzenesulfonamide (14b):** Yield 0.96 g (73%); colorless solid; m.p. 259–261 °C. IR (KBr): $\tilde{\nu}$ = 1165, 1340 (SO_2), 1610 (C=N) cm^{-1}. ^{1}H NMR (400.13 MHz, [D$_6$]DMSO): δ = 3.00 (s, 3 H, CH_3), 7.22 (s, 1 H, 6-H), 7.07–7.12 (m, 3 H, 3,4,5-H C_6H_5), 7.16 (m, 2 H, 3,5-H $C_6H_5SO_2$), 7.31 (m, 1 H, 4-H $C_6H_5SO_2$), 7.38 (m, 2 H, 2,6-H $C_6H_5SO_2$), 7.59 (m, 2 H, 2,6-H C_6H_5), 11.26 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 18.92 (CH_3), 111.38 (C-6), 113.70 (C-3), 126.63, 127.59, 128.83, 131.36 (C_6H_5), 128.08, 128.83, 133.00, 140.25 ($C_6H_5SO_2$), 144.91 (C-5), 142.61 (C-2),

149.41 (C-8a), 151.64 (C-7) ppm. $C_{19}H_{15}ClN_4O_2S$ (398.87): calcd. C 57.21, H 3.79, Cl 8.89, N 14.05, S 8.04; found C 57.35, H 3.82, Cl 8.93, N 14.15, S 8.09.

4-Methyl-*N*-(7-chloro-5-methyl-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)benzenesulfonamide (14c): Yield 0.86 g (74%); colorless solid; m.p. 256–258 °C. IR (KBr): $\tilde{\nu}$ = 1175, 1334 (SO_2), 1612 (C=N) cm^{-1}. ^1H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.16 (s, 3 H, CH_3), 3.00 (s, 3 H, CH_3), 6.88, 7.19 (AA′BB′, 4 H, 4-$CH_3C_6H_4$), 7.06 (m, 2 H, 3,5-H C_6H_5), 7.13–7.16 (m, 2 H, 6-H, 4-H C_6H_5), 7.50 (m, 2 H, 2,6-H C_6H_5), 10.77 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 18.86 (CH_3), 20.86 (CH_3), 110.90 (C-6), 113.50 (C-3), 127.40, 127.53, 127.81, 131.65 (C_6H_5), 126.52, 129.18, 136.57, 143.25 (4-$CH_3C_6H_4$), 143.14 (C-2),145.00 (C-5), 149.09 (C-8a), 151.06 (C-7) ppm. $C_{20}H_{17}ClN_4O_2S$ (412.89): calcd. C 58.18, H 4.15, Cl 8.59, N 13.57, S 7.77; found C 58.29, H 4.21, Cl 8.64, N 13.51, S 7.62.

General Procedure for the Synthesis of Imidazo-pyrimidines 15 and 16: 2-Aminopyrimidine **2e**,**f** (2.8 mmol) was added to a solution of imine **1** (2.8 mmol) in DMF (10 mL) and the solution was stirred on a magnetic stirrer for 5 h. The reaction mixture was shaken with acetone (30 mL), diluted with water (100 mL), and allowed to stand for 5 h. The precipitate was filtered off and dried, then dissolved in 1,4-dioxane (30 mL) and NaOH (0.50 g, 11.2 mmol) was added. The reaction mixture was stirred for 5 h, mixed with water (100 mL), and 10% HCl was added to pH 6. The precipitate of the final imidazo-pyrimidine **15**, **16** was filtered off, dried, and recrystallized from ethanol.

***N*-(6-Bromo-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)-4-chlorobenzenesulfonamide (15a):** Yield 0.77 g (59%); colorless solid; m.p. 276–278 °C. IR (KBr): $\tilde{\nu}$ = 1165, 1346 (SO_2), 1613 (C=N) cm^{-1}. ^1H NMR (400.13 MHz, [D$_6$]DMSO): δ = 7.19–7.23 (m, 3 H, 3,4,5-H C_6H_5), 7.25, 7.41 (AA′BB′, 4 H, 4-ClC_6H_4), 7.63 (m, 2 H, 2,6-H C_6H_5), 8.66 (d, ^4J = 2.4 Hz, 1 H, 5-H), 8.86 (d, ^4J = 2.4 Hz, 1 H, 6-H), 11.02 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]-DMSO): δ = 112.55 (C-6), 117.58 (C-3), 127.44, 128.29, 128.34, 131.81 (C_6H_5), 128.79, 129.42, 138.02, 138.66 (4-ClC_6H_4), 130.21 (C-5), 142.56 (C-2), 144.05 (C-8a), 150.55 (C-7) ppm. $C_{18}H_{12}BrClN_4O_2S$ (463.74): calcd. C 46.62, H 2.61, N 12.08, S 6.91; found C 46.73, H 2.63, N 12.18, S 6.94.

***N*-(6-Bromo-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)benzenesulfonamide(15b):** Yield 0.77 g (38%); colorless solid; m.p. 302–304 °C. IR (KBr): $\tilde{\nu}$ = 1163, 1332 (SO_2), 1608 (C=N) cm^{-1}. ^1H NMR (400.13 MHz, [D$_6$]DMSO): δ = 7.21–7.22 (m, 3 H, 3,4,5-H C_6H_5), 7.32, 7.44 (m, 3 H, 3,4,5-H $C_6H_5SO_2$), 7.75 (m, 2 H, 2,6-H C_6H_5), 8.64 (d, ^4J = 2.2 Hz, 1 H, 7-H), 8.57 (d, ^4J = 2.2 Hz, 1 H, 5-H) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 104.76 (C-6), 112.69 (C-3), 127.06, 127.58, 128.83, 132.06 (C_6H_5), 128.56, 129.66, 133.89, 139.98 ($C_6H_5SO_2$), 132.06 (C-5), 142.29 (C-2), 144.49 (C-8a), 152.12 (C-7) ppm. $C_{18}H_{13}BrN_4O_2S$ (429.29): calcd. C 50.36, H 3.05, N 13.05, S 7.47; found C 50.26, H 3.08, N 13.00, S 7.57.

***N*-(6-Bromo-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)-4-methylbenzenesulfonamide (15c):** Yield 0.41 g (33%); colorless solid; m.p. 287–289 °C. IR (KBr): $\tilde{\nu}$ = 1161, 1332 (SO_2), 1598 (C=N) cm^{-1}. ^1H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.24 (s, 3 H, CH_3), 7.08, 7.37 (AA′BB′, 4 H, 4-$CH_3C_6H_4$), 7.22 (m, 1 H, 4-H C_6H_5), 7.24 (m, 2 H, 3,5-H C_6H_5), 7.75 (m, 2 H, 2,6-H C_6H_5), 8.64 (d, ^4J = 2.4 Hz, 1 H, 7-H), 8.49 (d, ^4J = 2.4 Hz, 1 H, 5-H), 10.74 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 20.94 (CH_3), 104.22 (C-6), 112.50 (C-3), 126.58, 129.65, 136.40, 143.89 (4-$CH_3C_6H_4$), 127.17, 127.98, 128.03, 131.69 (C_6H_5), 131.52 (C-5), 141.86 (C-2), 143.49 (C-8a), 151.46 (C-7) ppm. $C_{19}H_{15}BrN_4O_2S$ (443.32): calcd. C 51.48, H 3.41, N 12.64, S 7.23; found C 51.55, H 3.44, N 12.59, S 7.30.

4-Chloro-*N*-(6-chloro-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)benzenesulfonamide (16a): Yield 0.55 g (47%); colorless solid; m.p. 292–294 °C. IR (KBr): $\tilde{\nu}$ = 1164, 1343 (SO_2), 1612 (C=N) cm^{-1}. ^1H NMR (400.13 MHz, [D$_6$]DMSO): δ = 7.18–7.25 (m, 3 H, 3,4,5-H C_6H_5), 7.26 (AA′BB′, 2 H, 2,6-H 4-ClC_6H_4), 7.43 (AA′BB′, 2 H, 3,5-H 4-ClC_6H_4), 7.65 (m, 2 H, 2,6-H C_6H_5), 8.68 (d, ^4J = 2.4 Hz, 1 H, 5-H), 8.84 (d, ^4J = 2.4 Hz, 1 H, 7-H), 11.00 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 104.56 (C-6), 112.36 (C-3), 127.46, 128.30, 128.37, 131.81 (C_6H_5), 128.79, 129.46, 138.07, 138.71 (4-ClC_6H_4), 132.12 (C-5), 142.28 (C-2), 144.00 (C-8a), 152.05 (C-7) ppm. $C_{18}H_{12}Cl_2N_4O_2S$ (419.28): calcd. C 51.56, H 2.88, Cl 16.91, N 13.36, S 7.65; found C 51.64, H 2.86, Cl 16.84, N 13.28, S 7.71.

***N*-(6-Chloro-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)benzenesulfonamide (16b):** Yield 0.39 g (36%); colorless solid; m.p. 290–292 °C. IR (KBr): $\tilde{\nu}$ = 1164, 1334 (SO_2), 1611 (C=N) cm^{-1}. ^1H NMR (400.13 MHz, [D$_6$]DMSO): δ = 7.18–7.22 (m, 3 H, 3,4,5-H C_6H_5), 7.31 (m, 2 H, 3,5-H $C_6H_5SO_2$), 7.44 (m, 1 H, 4-H $C_6H_5SO_2$), 7.54 (m, 2 H, 2,6-H $C_6H_5SO_2$), 7.73 (m, 2 H, 2,6-H C_6H_5), 8.61 (d, ^4J = 2.4 Hz, 1 H, 5-H), 8.63 (d, ^4J = 2.4 Hz, 1 H, 7-H), 10.91 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 112.90 (C-6), 117.51 (C-3), 127.04, 127.52, 128.72, 130.09 (C_6H_5), 128.47, 129.55, 133.75, 139.94 ($C_6H_5SO_2$), 132.05 (C-5), 142.50 (C-2), 144.04 (C-8a), 150.52 (C-7) ppm. $C_{18}H_{13}ClN_4O_2S$ (384.84): calcd. C 56.18, H 3.40, Cl 9.21, N 14.56, S 8.33; found C 56.25, H 3.44, Cl 9.28, N 14.45, S 8.39.

4-Methyl-*N*-(6-chloro-2-phenylimidazo[1,2-*a*]pyrimidin-3-yl)benzenesulfonamide (16c): Yield 0.57 g (48%); colorless solid; m.p. 288–290 °C. IR (KBr): $\tilde{\nu}$ = 1163, 1336 (SO_2), 1613 (C=N) cm^{-1}. ^1H NMR (400.13 MHz, [D$_6$]DMSO): δ = 2.22 (s, 3 H, CH_3), 7.05, 7.35 (AA′BB′, 4 H, 4-$CH_3C_6H_4$), 7.18–7.24 (m, 3 H, 3,4,5-H C_6H_5), 7.70 (m, 2 H, 2,6-H C_6H_5), 8.55 (d, ^4J = 2.4 Hz, 1 H, 5-H), 8.63 (d, ^4J = 2.4 Hz, 1 H, 7-H), 10.76 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.61 MHz, [D$_6$]DMSO): δ = 20.84 (CH_3), 112.58 (C-6), 117.02 (C-3), 126.56, 129.55, 136.24, 143.80 (4-$CH_3C_6H_4$), 127.12, 127.80, 127.91, 132.22 (C_6H_5), 131.62 (C-5), 142.18 (C-2), 143.53 (C-8a), 149.95 (C-7) ppm. $C_{19}H_{15}ClN_4O_2S$ (398.87): calcd. C 57.21, H 3.79, Cl 8.89, N 14.05, S 8.04; found C 57.33, H 3.84, Cl 8.93, N 14.13, S 8.11.

Supporting Information (see footnote on the first page of this article): ^1H and ^{13}C NMR spectra of all compounds, qantum chemical data, crystal data, and details of X-ray experiments.

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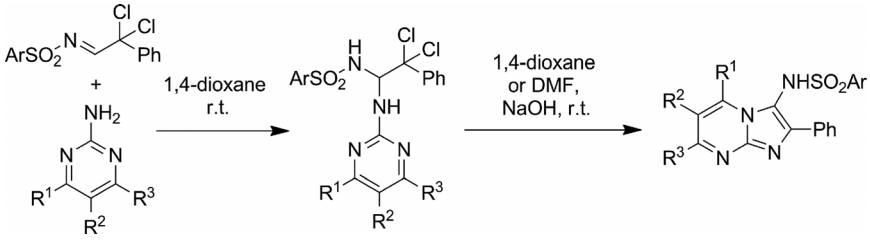

2-Aminopyrimidines react easily with electrophilic *N*-(arylsulfonyl)phenyldichloroacetaldimines to give the corresponding addition products of the amine moiety to the azomethine group. The obtained compounds were successfully utilized to synthesize imidazo[1,2-*a*]pyrimidin-3-ylsulfonamides regioselectively.

I. B. Rozentsveig,* V. Y. Serykh, G. N. Chernysheva, E. V. Kondrashov, A. I. Fedotova, I. A. Ushakov, E. V. Tretyakov, G. V. Romanenko **1–12**

Two-Step Regioselective Synthesis of 3-(Sulfonylamino)imidazo[1,2-*a*]pyrimidines from 2-Aminopyrimidines and *N*-(2,2-Dichloro-2-phenylethylidene)arensulfonamides

Keywords: Nitrogen heterocycles / Sulfonamides / Nucleophilic addition / Rearrangement / Regioselectivity

